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STRUCTURE FILE UPDATES: 8 MAR 2009 HIGHEST RN 1117698-24-4  
DICTIONARY FILE UPDATES: 8 MAR 2009 HIGHEST RN 1117698-24-4

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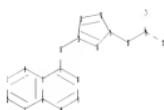
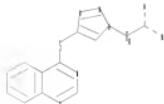
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 7-11 11-12 15-18 18-19 19-20 19-21  
 ring bonds :  
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 15-16  
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 7-11 11-12 12-13 13-14 14-15 15-16 15-18 19-20 19-21  
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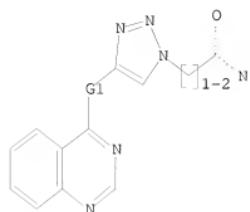
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STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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FILE LAST UPDATED: 9 Mar 2009 (20090309/ED)

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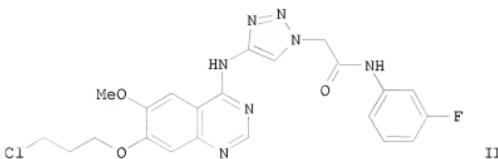
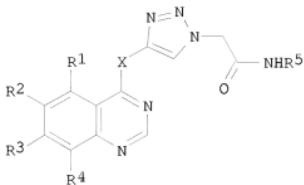
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L3 1 L2

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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2004:1154697 CAPLUS  
DOCUMENT NUMBER: 142:93862  
TITLE: Preparation of (triazolylamino)quinazoline derivatives as aurora kinase inhibitors  
INVENTOR(S): Mortlock, Andrew Austen; Heron, Nicola Murdoch; Jung, Frederic Henri  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
SOURCE: PCT Int. Appl., 80 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CN 1835945	A	20060920	CN 2004-80023140	20040614
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NO 2005005891	A	20060207	NO 2005-5891	20051212
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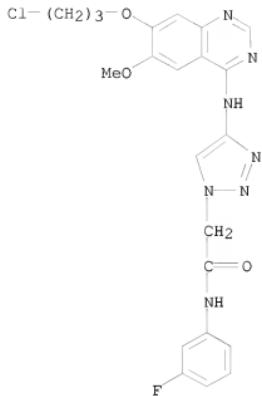
**AB** Title compds. represented by the formula I [wherein X = O or (alkyl)amino; R1, R3, R4 = independently H, halo or X1R11; R2 = H, halo, nitro, cyano, X2R12; X1-X2 = independently a direct bond, O, NH, (alkyl)amino, etc.; R11, R12 = independently H, (cyclo)alkyl, (cyclo)alkenyl, heterocyclyl, etc.; R5 = (un)substituted (hetero)aryl; and salts, esters or prodrugs thereof] were prepared as aurora kinase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of 2-(4-amino-1H-1,2,3-triazol-1-yl)-N-(3-fluorophenyl)acetamide with 4-chloro-7-(3-chloropropoxy)-6-methoxyquinazoline. II showed 50% inhibition of enzyme activity at concentration of 0.1  $\mu$ M in vitro aurora-A kinase inhibition test, and the compds. of invention are generally active at 1 nM to 100  $\mu$ M in vitro cell cycle anal. assay. Thus, I and their pharmaceutical compns. are useful as aurora kinase inhibitors for the treatment of proliferative diseases, such as cancer (no data).

**IT** 816430-16-7P 816430-17-8P 816430-18-9P

**RL:** PAC (Pharmacological activity); **RCT** (Reactant); **SPN** (Synthetic preparation); **THU** (Therapeutic use); **BIOL** (Biological study); **PREP** (Preparation); **RACT** (Reactant or reagent); **USES** (Uses)  
 (preparation of (triazolylamino)quinazoline derivs. as aurora kinase inhibitors for the treatment of cancers)

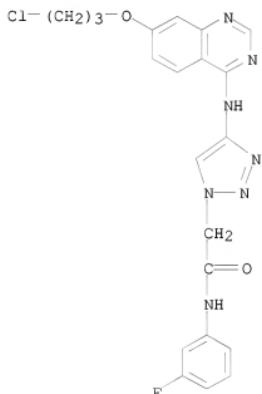
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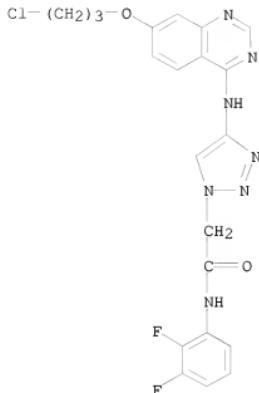
RN 816430-17-8 CAPLUS

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RN 816430-18-9 CAPLUS

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IT 816430-19-0P 816430-20-3P 816430-21-4P  
 816430-22-5P 816430-23-6P 816430-24-7P  
 816430-25-8P 816430-26-9P 816430-27-0P  
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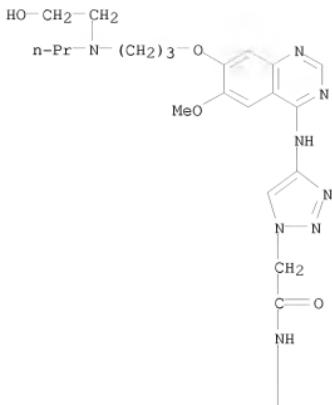
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (triazolylamino)quinazoline derivs. as aurora kinase inhibitors for the treatment of cancers)

RN 816430-19-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(3-fluorophenyl)-4-[(7-[3-[(2-hydroxyethyl)propylamino]propoxo]-6-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

PAGE 1-A

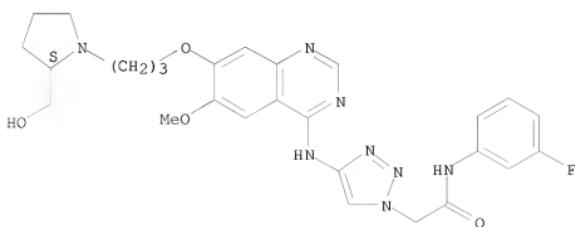


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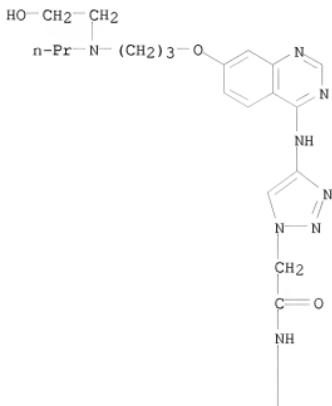
Absolute stereochemistry.



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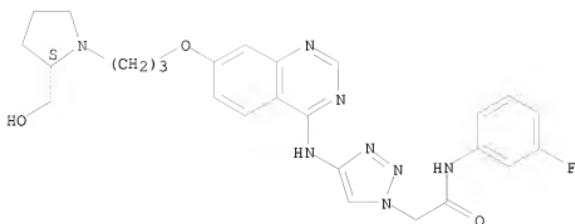
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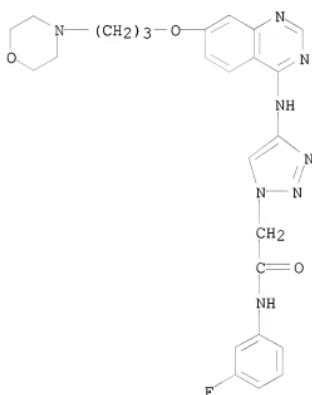
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Absolute stereochemistry.



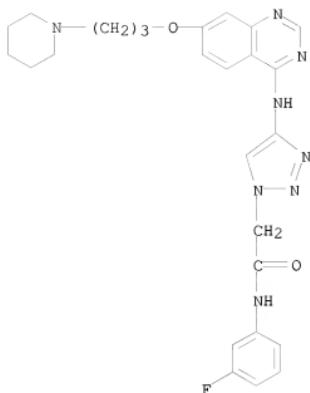
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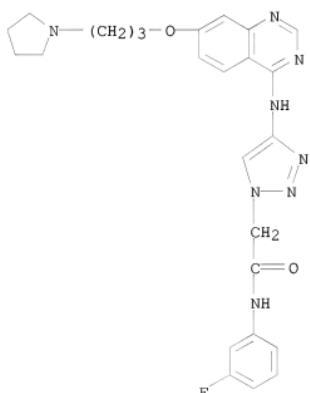
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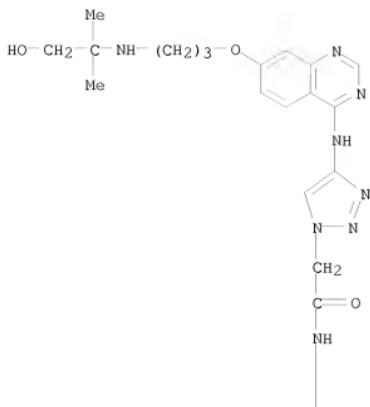
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PAGE 1-A

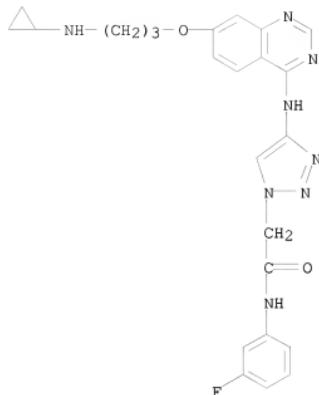


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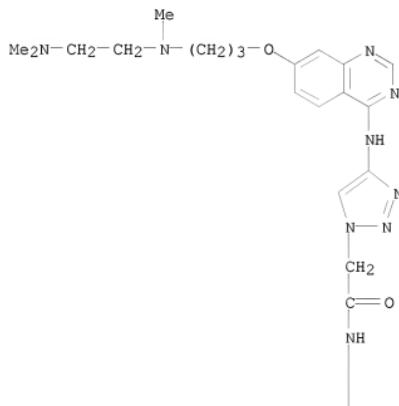
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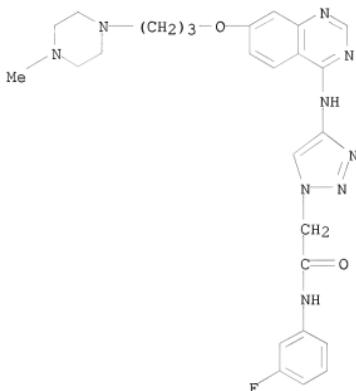
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PAGE 1-A



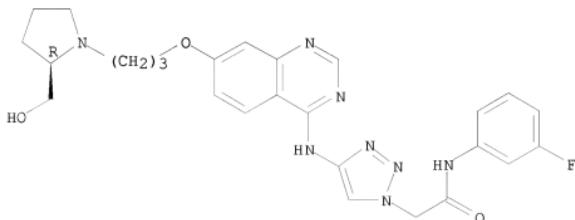


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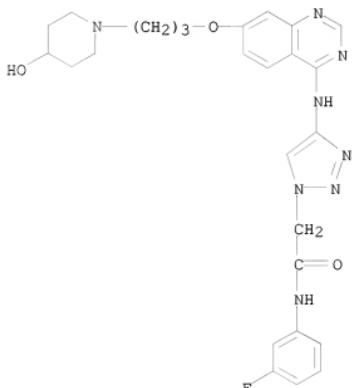
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Absolute stereochemistry.



RN 816430-31-6 CAPLUS  
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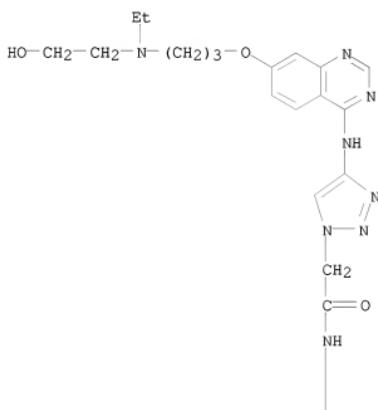
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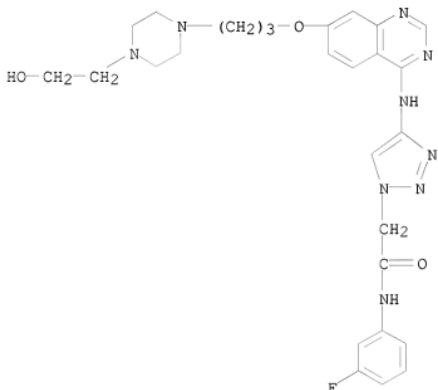
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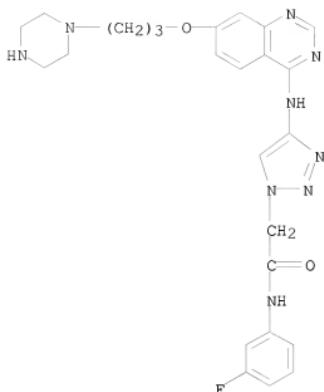
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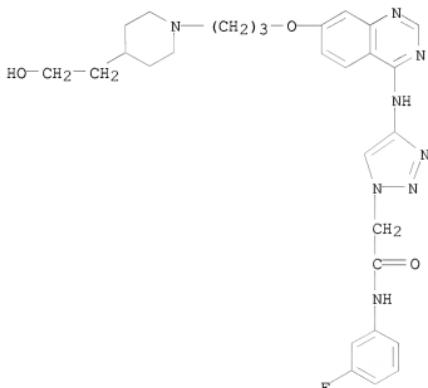
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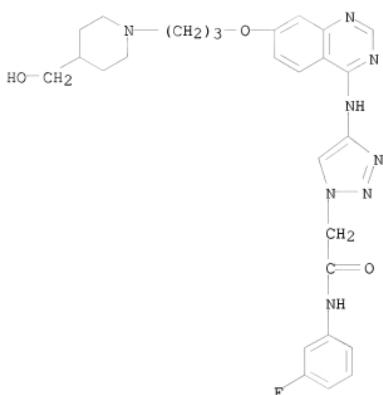
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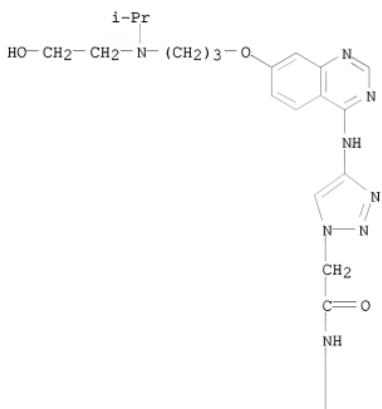
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RN 816430-37-2 CAPLUS

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PAGE 1-A



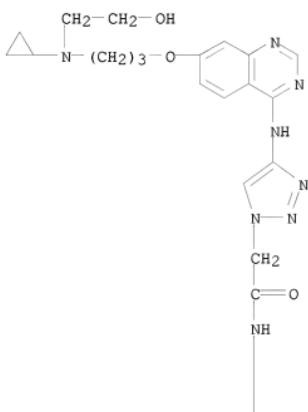
PAGE 2-A



RN 816430-38-3 CAPLUS

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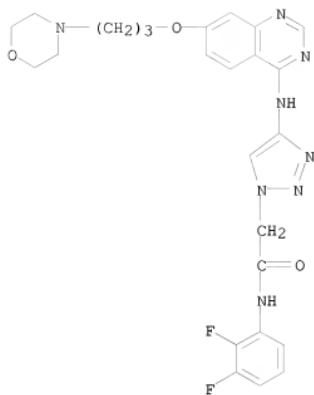


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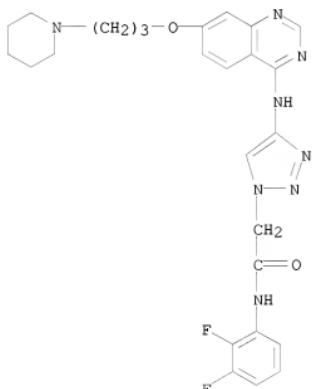
RN 816430-39-4 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[{[7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino}- (CA INDEX NAME)



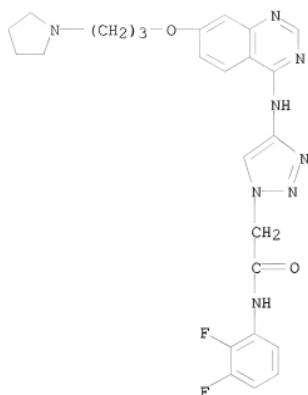
RN 816430-40-7 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 816430-41-8 CAPLUS

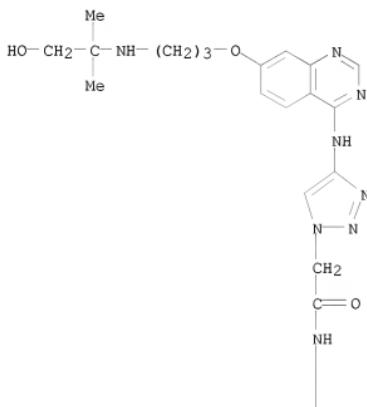
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-(1-pyrrolidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 816430-42-9 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-((2-hydroxy-1,1-dimethylethyl)amino)propoxy]-4-quinazolinyl)amino]- (CA INDEX NAME)

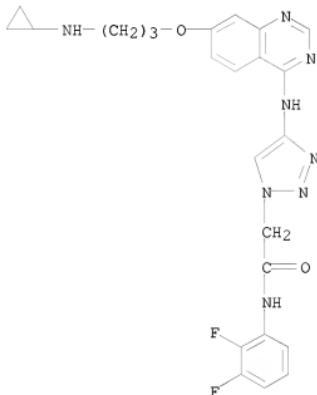
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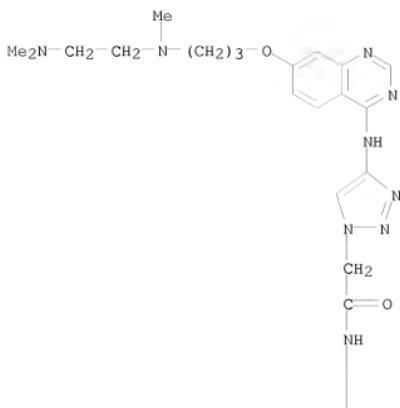
RN 816430-43-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, 4-[{7-[3-(cyclopropylamino)propoxy]-4-quinazolinyl}amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)

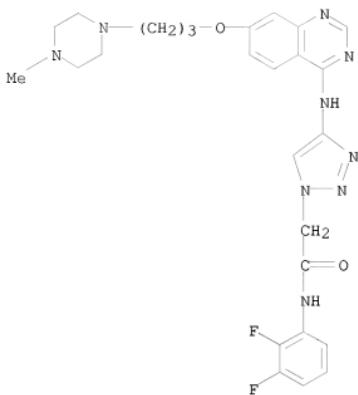


RN 816430-44-1 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[{7-[3-[{2-(dimethylamino)ethyl]methylamino}propoxy]-4-quinazolinyl}amino]- (CA INDEX NAME)



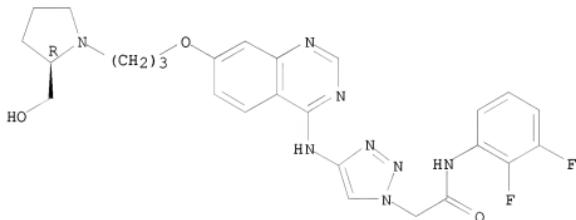
RN 816430-45-2 CAPLUS  
 CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinylamino]-
 (CA INDEX NAME)



RN 816430-46-3 CAPLUS

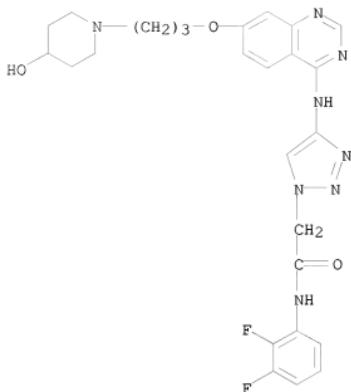
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-((2R)-2-(hydroxymethyl)-1-pyrrolidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 816430-47-4 CAPLUS

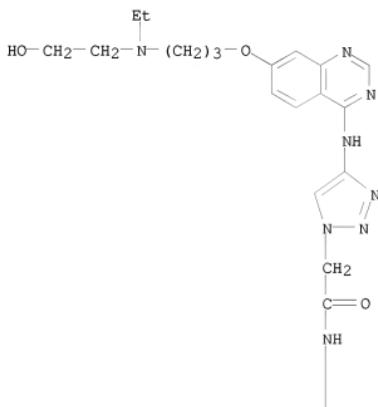
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-(4-hydroxy-1-piperidinyl)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 816430-48-5 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-(ethyl(2-hydroxyethyl)amino)propoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

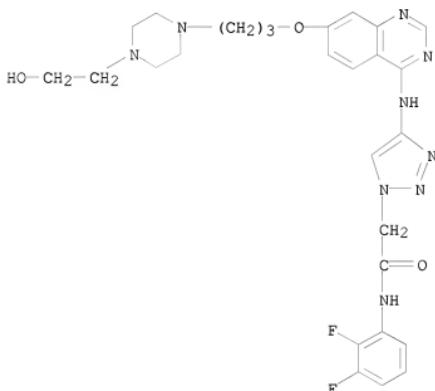
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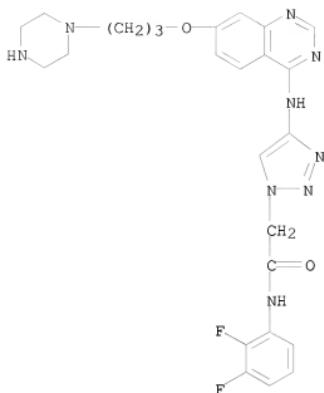
RN 816430-49-6 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[{7-[3-[4-(2-hydroxyethyl)-1-piperazinyl]propoxy]-4-quinazolinyl}amino]- (CA INDEX NAME)



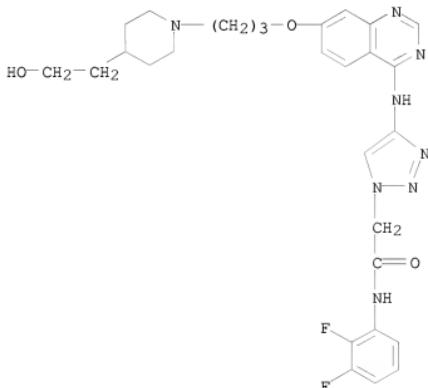
RN 816430-50-9 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[{7-[3-(1-piperazinyl)propoxy]-4-quinazolinyl}amino]- (CA INDEX NAME)



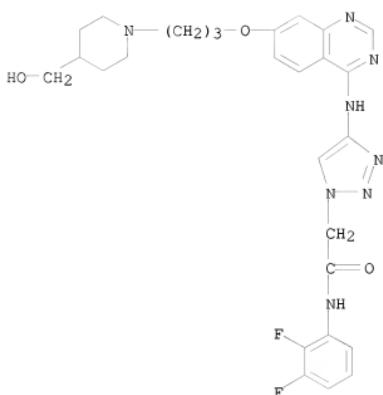
RN 816430-51-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propoxy]-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 816430-52-1 CAPLUS

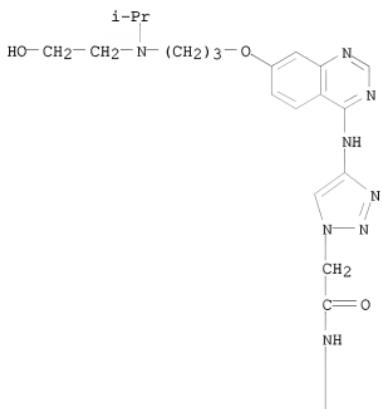
CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 816430-53-2 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-[3-[(2-hydroxyethyl)amino]propoxy]-4-quinazolinyl)amino]- (CA INDEX NAME)

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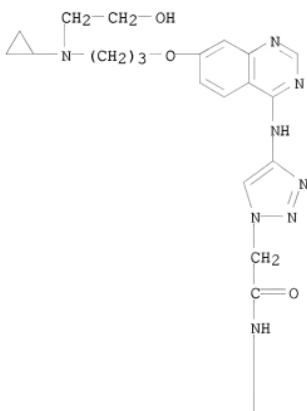
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RN 816430-54-3 CAPLUS

CN 1H-1,2,3-Triazole-1-acetamide, 4-[{7-[3-[cyclopropyl(2-hydroxyethyl)amino]propoxy]-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)-(CA INDEX NAME)

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